

(19) World Intellectual Property  
Organization  
International Bureau



(43) International Publication Date  
25 August 2005 (25.08.2005)

PCT

(10) International Publication Number  
**WO 2005/077906 A1**

(51) International Patent Classification<sup>7</sup>: **C07D 221/12**,  
A61K 31/473, A61P 11/00, 29/00, 37/02

(21) International Application Number:  
PCT/EP2005/050708

(22) International Filing Date: 17 February 2005 (17.02.2005)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:  
04003592.5 18 February 2004 (18.02.2004) EP

(71) Applicant (for all designated States except US): **ALTANA PHARMA AG** [DE/DE]; Byk-Gulden-Str. 2, 78467 Konstanz (DE).

(72) Inventors (for AE, AG, AL, AM, AT, AU, AZ, BA, BB, BE, BG, BR, BW, BY, BZ, CH, CN, CO, CR, CU, CY, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, FR, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, SZ, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW only): **SCHMIDT, Beate**; Allensbacher Str. 5, 78476 Allensbach (DE). **FLOCKERZI, Dieter**; Ackerweg 26, 78476 Allensbach (DE). **HATZELMANN, Armin**; Alter Wall 3, 78467 Konstanz (DE). **ZITT, Christof**; Mainaustr. 209 D, 78464 Konstanz (DE). **BARSIG, Johannes**; Bleichenweg 11, 78467 Konstanz (DE). **MARX, Degenhard**; Obere Reute 15, 78345 Moos (DE). **KLEY, Hans-Peter**; Im Weinberg 3b, 78476 Allensbach (DE).

(72) Inventor; and

(75) Inventor/Applicant (for US only): **KAUTZ, Ulrich** [DE/DE]; Prof.-Schmider-Str. 12, 78476 Allensbach (DE).

(74) Agents: **WILD, Robert** et al.; Altana Pharma AG, 78467 Konstanz (DE).

(81) Designated States (unless otherwise indicated, for every kind of national protection available): AE, AG, AL, AM,

AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.

(84) Designated States (unless otherwise indicated, for every kind of regional protection available): ARIPO (BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

**Declarations under Rule 4.17:**

- as to applicant's entitlement to apply for and be granted a patent (Rule 4.17(ii)) for the following designations AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW, ARIPO patent (BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG)
- of inventorship (Rule 4.17(iv)) for US only

**Published:**

- with international search report
- before the expiration of the time limit for amending the claims and to be republished in the event of receipt of amendments

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(54) Title: NOVEL GUANIDINYL-SUBSTITUTED HYDROXY-6-PHENYLPHENENTHRIDINES AS EFFECTIVE PHOSPHODIESTERASE (PDE) 4 INHIBITORS

(57) Abstract: Compounds of a certain formula (1), in which R1, R2, R3, R31, R4, R5, R6 and R7 have the meanings indicated in the description, are novel effective PDE4 inhibitors in which R7 is a radical of formulae (a), (b), (c) or (d).



WO 2005/077906 A1